Claims

1. Compound of the formula

$$R^6$$
 X
 R^5
 R^5
 NR^3R^4
(I)

where

X is methylene or hydroxymethylene;

R¹ a) is hydrogen; or

b) is C_1 - C_8 -alkyl, C_3 - C_8 -cycloalkyl, C_1 - C_8 -alkanoyl, C_1 - C_8 -alkoxycarbonyl, aryl- C_0 - C_4 -alkyl or heterocyclyl- C_0 - C_4 -alkyl, which radicals may be substituted by 1-4 C_1 - C_8 -alkyl, halogen, cyano, oxide, oxo, trifluoromethyl, C_1 - C_8 -alkoxy, C_1 - C_8 -alkoxycarbonyl, aryl or heterocyclyl;

 R^2 a) is $C_1\text{-}C_8\text{-}alkyl,\ C_3\text{-}C_8\text{-}cycloalkyl,\ C_1\text{-}C_8\text{-}alkylsulphonyl,\ C_3\text{-}C_8\text{-}cycloalkylsulphonyl,\ aryl-} <math display="inline">C_0\text{-}C_8\text{-}alkylsulphonyl,\ heterocyclylsulphonyl,\ }C_3\text{-}C_1\text{-}cycloalkyl-}C_1\text{-}C_8\text{-}alkanoyl,\ }C_3\text{-}C_1\text{-}cycloalkyl-}C_1\text{-}C_8\text{-}alkanoyl,\ }C_3\text{-}C_1\text{-}cycloalkyl-}C_3\text{-}C_8\text{-}cycloalkanoyl,\ }aryl\text{-}C_1\text{-}C_8\text{-}alkanoyl,\ }heterocyclyl\text{-}C_1\text{-}C_8\text{-}alkanoyl,\ }aryl\text{-}C_3\text{-}C_8\text{-}cycloalkyl,\ }optionally\ N\text{-}mono\ or\ N,N\text{-}di\text{-}C_1\text{-}C_8\text{-}alkyl,\ }aryl\text{-}C_0\text{-}C_4\text{-}alkyl\ }or\ heterocyclyl\text{-}C_0\text{-}C_4\text{-}alkyl,\ }which\ radicals\ }may\ be\ substituted\ by\ 1\text{-}4\ C_1\text{-}C_8\text{-}alkyl,\ }C_3\text{-}C_8\text{-}cycloalkyl,\ }C_3\text{-}C_8\text{-}cycloalkoxy,\ }amino,\ }C_{1\text{-}6}\text{-}alkylamino,\ }di\text{-}C_{1\text{-}6}\text{-}alkylamino,\ }C_0\text{-}C_6\text{-}alkylcarbonylamino,\ }halogen,\ cyano,\ hydroxyl,\ }oxide,\ }oxo,\ trifluoromethyl,\ }C_1\text{-}C_8\text{-}alkoxy,\ }optionally\ N\text{-}mono\ or\ }N,N\text{-}di\text{-}C_1\text{-}C_8\text{-}alkylated\ }carbamoyl,\ }C_1\text{-}C_8\text{-}alkylanedioxy,\ }aryl\ or\ heterocyclyl;\ }or$

b) together with R_1 and the nitrogen atom to which they are bonded, is a saturated or partly unsaturated 4-8-membered heterocyclic ring which may contain an additional nitrogen, oxygen or sulphur atom or an -SO- or -SO2- group, and the additional nitrogen atom may optionally be substituted by C_1 - C_8 -alkyl, C_1 - C_8 -alkanoyl, C_1 - C_8 -alkoxycarbonyl, aryl or heterocyclyl radicals, in which case this heterocyclic ring may be part of a bicyclic or tricyclic ring system having a total of up to 16 members and the second ring may also contain a nitrogen, oxygen or sulphur atom or an -SO- or -SO2- group, and the nitrogen atom of the second ring may optionally be substituted by C_1 - C_8 -alkyl, C_1 - C_8 -alkanoyl, C_1 - C_8 -alkoxycarbonyl, aryl or heterocyclyl radicals,

and all ring systems mentioned may be substituted by 1-4 C_1 - C_8 -alkyl, halogen, hydroxyl, oxide, oxo, trifluoromethyl, C_1 - C_8 -alkoxy, C_1 - C_8 -alkoxy- C_1 - C_8 -alkoxy- C_1 - C_8 -alkoxy- C_1 - C_8 -alkoxy- C_1 - C_8 -alkylcarbonylamino, C_1 - C_8 -alkylamino, N,N-di- C_1 - C_8 -alkylamino, aryl- C_0 - C_4 -alkyl, aryloxy- C_0 - C_4 -alkyl, aryl- C_0 - C_4 -alkyl- C_1 - C_8 -alkoxy, aryloxy- C_0 - C_4 -alkyl, heterocyclyloxy- C_0 - C_4 -alkyl, heterocyclyloxy- C_0 - C_4 -alkyl- C_1 - C_8 -alkoxy or heterocyclyloxy- C_0 - C_4 -alkyl- C_1 - C_8 -alkoxy;

 R^3 is hydrogen, C_1 - C_4 -alkyl, C_1 - C_8 -alkoxycarbonyl or C_1 - C_8 -alkanoyl; R^4 is hydrogen, C_1 - C_4 -alkyl, C_1 - C_8 -alkoxycarbonyl or C_1 - C_8 -alkanoyl;

 R^5 are each independently hydrogen, C_1 - C_8 -alkyl or, together with the carbon atom to which they are bonded, are a C_3 - C_8 -cycloalkylidene radical;

(A) R⁶ is a heterocyclyl radical or a polycyclic, unsaturated hydrocarbon radical which is substituted by from one to four radicals selected from C₁-C₆-alkyl, C₃₋₈-cycloalkyl, C₃₋₈cycloalkoxy, C₃₋₈-cycloalkoxy-C₁₋₆-alkyl, C₃₋₈-cycloalkoxy-C₁₋₆-alkoxy, C₁-C₆-alkylamino, di-C₁-C₆-alkylamino, amino-C₁₋₆-alkyl, amino-C₂₋₇-alkoxy, polyhalo-C₁₋₆-alkyl, polyhalo- C_{2-7} -alkoxy, nitro, amino, C_2 - C_6 -alkenyl, C_1 - C_6 -alkoxy, C_1 - C_6 -alkanoyloxy, hydroxyl, halogen, oxide, oxo, cyano, carbamoyl, carboxy, C1-C6-alkylenedioxy, phenyl, phenoxy, phenylthio, phenyl-C₁-C₆-alkyl or phenyl-C₁-C₆-alkoxy, each of which are optionally substituted by halogen, C₁-C₆-alkyl, C₁₋₆-alkoxy, hydroxyl, C₁-C₆-alkylamino, di-C₁-C₆-alkylamino, C₁₋₆-alkoxycarbonyl, hydroxy-C₁₋₆-alkyl or trifluoromethyl, pyridylcarbonylamino-C₁₋₆-alkyl, C₂₋₇-alkenyloxy, C₁₋₆-alkoxy-C₁₋₆-alkoxy, C₁₋₆-alkoxy-C₁₋₆ 6-alkoxy-C1-6-alkyl, methoxybenzyloxy, hydroxybenzyloxy, methylenedioxybenzyloxy, $\label{eq:constraint} \mbox{dioxolanyl-$C_{\scriptsize 1-6}$-alkoxy, $C_{\scriptsize 3-8}$-cycloalkyl-$C_{\scriptsize 1-6}$-alkoxy, $hydroxy-$C_{\scriptsize 2-8}$-cycloalkyl-$C_{\scriptsize 1-6}$-alkoxy, $hydroxy-$C_{\scriptsize 1-6}$-alkoxy, $hydroxy-$ 7-alkoxy, carbamoyloxy-C₂₋₇-alkoxy, pyridylcarbamoyloxy-C₂₋₇-alkoxy, benzoyloxy-C₂₋₇alkoxy, C_{1-6} -alkoxycarbonyl, C_{1-6} -alkylcarbonylamino, C_{1-6} -alkylcarbonylamino- C_{1-6} alkyl, C_{1-6} -alkylcarbonylamino- C_{2-7} -alkoxy, (N- C_{1-6} -alkyl)- C_{1-6} -alkylcarbonylamino- C_{1-6} alkyl, (N-C₁₋₆-alkyl)-C₁₋₆-alkylcarbonylamino-C₂₋₇-alkoxy, C₃₋₈-cycloalkylcarbonylamino- C_{1-6} -alkyl, C_{3-8} -cycloalkylcarbonylamino- C_{2-7} -alkoxy, C_{1-6} -alkoxy- C_{1-6} -alkyl, hydroxy- C_{1-6} -alkyl, carbonylamino- C_{2-7} -alkoxy, C_{1-6} -alkyl, hydroxy- C_{1-6} - C_{1-6} -alkyl, hydroxy- C_{1-6} - C_{1-6} alkyl, hydroxy-C₂₋₇-alkoxy-C₁₋₆-alkyl, hydroxy-C₂₋₇-alkoxy-C₁₋₆-alkoxy, C₁₋₆-alkoxycarbonylamino-C₁₋₆-alkyl, C₁₋₆-alkoxycarbonylamino-C₂₋₇-alkoxy, C₁₋₆-alkylaminocarbonylamino- C_{1-6} -alkyl, C_{1-6} -alkylaminocarbonylamino- C_{2-7} -alkoxy, C_{1-6} -alkylaminocarbonyl-C₁₋₆-alkyl, C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkoxy, C₁₋₆-alkylaminocarbonyl-C₁₋₆alkoxy- C_{1-6} -alkyl, di- C_{1-6} -alkylaminocarbonyl- C_{1-6} -alkyl, di- C_{1-6} -alkylaminocarbonyl- C_{1-6} -alkylaminocarbonyl- C_{1-6} -alkyl alkoxy, C_{1-6} -alkylcarbonyloxy- C_{1-6} -alkyl, C_{1-6} -alkylcarbonyloxy- C_{2-6} -alkoxy, cyano- C_{1-6} -

alkyl, cyano- C_{1-6} -alkoxy, 2-oxooxazolidinyl- C_{1-6} -alkyl, 2-oxo-oxazolidinyl- C_{1-6} -alkoxy, C_{1-6} -alkoxycarbonyl- C_{1-6} -alkyl, C_{1-6} -alkoxycarbonyl- C_{1-6} -alkoxy, C_{1-6} -alkylsulphonylamino- C_{1-6} -alkyl, C_{1-6} -alkylsulphonylamino- C_{2-7} -alkoxy, (N- C_{1-6} -alkyl)- C_{1-6} -alkyl $sulphonylamino-C_{1\text{--}6}-alkyl,\ (N-C_{1\text{--}6}-alkyl)-C_{1\text{--}6}-alkylsulphonylamino-C_{2\text{--}7}-alkoxy,\ C_{1\text{--}6}-alkylsulphonylamino-C_{2\text{--}7}-alkoxy,\ C_{1\text{--}6}-alkylsulphonylamino-C_{2\text{--}7}-alkylsu$ alkylamino- C_{1-6} -alkyl, C_{1-6} -alkylamino- C_{2-7} -alkoxy, di- C_{1-6} -alkylamino- C_{1-6} -alkyl, di- C_{1-6} -alkylamino- C_{1-6} -alkyl alkylamino- C_{2-7} -alkoxy, C_{1-6} -alkylsulphonyl- C_{1-6} -alkyl, C_{1-6} -alkylsulphonyl- C_{1-6} -alkoxy, carboxy-C₁₋₆-alkyl, carboxy-C₁₋₆-alkoxy, carboxy-C₁₋₆-alkoxy-C₁₋₆-alkyl, C₁₋₆-alkoxy-C₁₋₆alkylcarbonyl, acyl- C_{1-6} -alkoxy- C_{1-6} -alkyl, (N- C_{1-6} -alkyl)- C_{1-6} -alkoxycarbonylamino, (N-hydroxy)-C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkyl, (N-hydroxy)-C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkoxy, (N-hydroxy)aminocarbonyl-C₁₋₆-alkyl, (N-hydroxy)aminocarbonyl-C₁₋₆alkoxy, C₁₋₆-alkoxy-aminocarbonyl-C₁₋₆-alkyl, 6-alkoxyaminocarbonyl-C₁₋₆-alkoxy, $(N-C_{1-6}-alkoxy)-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkyl, (N-C_{1-6}-alkoxy)-C_{1-6}-alkylamino-alkylamin$ carbonyl- C_{1-6} -alkoxy, (N-acyl)- C_{1-6} -alkoxy- C_{1-6} -alkylamino, C_{1-6} -alkoxy- C_{1-6} - C_{1-6} -alkoxy- C_{1-6} - C_{1-6} alkylcarbamoyl, (N- C_{1-6} -alkyl)- C_{1-6} -alkoxy- C_{1-6} -alkylcarbamoyl, C_{1-6} -alkoxy- C_{1-6} -alkoxy- C_{1-6} -alkoxy- C_{1-6} -alkoxy- C_{1-6} -alkylcarbamoyl, C_{1-6} -alkoxy- C_{1-6} -alkylcarbamoyl, C_{1-6} -alkoxy- C_{1-6} -alkylcarbamoyl, C_{1-6} -alkoxy- C_{1-6} -alkylcarbamoyl, C_{1-6} -alkylcarbamoyl, alkylcarbonyl, C_{1-6} -alkoxy- C_{1-6} -alkylcarbonylamino, (N- C_{1-6} -alkyl)- C_{1-6} -alkoxy- C_{1-6} -alkoxy- C_{1-6} -alkoxy- C_{1-6} -alkylcarbonylamino, (N- C_{1-6} -alkylcarbonylamino) alkylcarbonylamino, 1-C₁₋₆-alkoxy-C₁₋₆-alkylimidazol-2-yl, 1-C₁₋₆-alkoxy-C₁₋₆alkyltetrazol-5-yl, 5-C₁₋₆-alkoxy-C₁₋₆-alkyltetrazol-1-yl, 2-C₁₋₆-alkoxy-C₁₋₆-alkyl-4oxoimidazol-1-yl, carbamoyl-C₁₋₆-alkyl, carbamoyl-C₁₋₆-alkoxy, C₁₋₆-alkylcarbamoyl, di- C_{1-6} -alkylcarbamoyl, C_{1-6} -alkylsulphonyl, C_{1-6} -alkylamidinyl, acetamidinyl- C_{1-6} -alkyl, Q-methyloximyl-C₁₋₆-alkyl, O,N-dimethylhydroxylamino-C₁₋₆-alkyl, C₃₋₆-cycloalkyl-C₁₋₆alkanoyl, aryl-C₁₋₆-alkanoyl or heterocyclyl-C₁₋₆-alkanoyl, or else pyridyl, pyridyloxy, pyridylthio, pyridylamino, pyridyl-C₁₋₆-alkyl, pyridyl-C₁₋₆-alkoxy, pyrimidinyl, pyrimidinyloxy, pyrimidinylthio, pyrimidinylamino, pyrimidinyl-C₁₋₆-alkyl, pyrimidinyl-C₁₋₆alkoxy, thienyl, thienyl- C_{1-6} -alkyl, thienyl- C_{1-6} -alkoxy, furyl, furyl- C_{1-6} -alkyl or furyl- C_{1-6} -alkoxy, furyl- C_{1-6} -alkyl or furyl- C_{1-6} -alkyl alkoxy, each of which is optionally substituted by halogen, C₁₋₆-alkyl, C₁₋₆-alkoxy or dihydroxy-C₁₋₆-alkylaminocarbonyl, piperidinoalkyl, piperidinoalkoxy, piperidinoalkoxyalkyl, morpholinoalkyl, morpholinoalkoxy, morpholinoalkoxyalkyl, piperazinoalkyl, piperazinoalkoxy, piperazinoalkoxyalkyl, [1,2,4]-triazol-1-ylalkyl, [1,2,4]-triazol-1-ylalkoxy, [1,2,4]-triazol-4-ylalkyl, [1,2,4]-triazol-4-ylalkoxy, [1,2,4]oxadiazol-5-ylalkyl, [1,2,4]-oxadiazol-5-ylalkoxy, 3-methyl-[1,2,4]-oxadiazol-5-ylalkyl, 3methyl-[1,2,4]-oxadiazol-5-ylalkoxy, 5-methyl-[1,2,4]-oxadiazol-3-ylalkyl, 5-methyl-[1,2,4]-oxadiazol-3-ylalkoxy, tetrazol-1-ylalkyl, tetrazol-1-ylalkoxy, tetrazol-2-ylalkyl, tetrazol-2-ylalkoxy, tetrazol-5-ylalkyl, tetrazol-5-ylalkoxy, 5-methyl-tetrazol-1-ylalkyl, 5methyl-tetrazol-1-ylalkoxy, thiazol-4-ylalkyl, thiazol-4-ylalkoxy, oxazol-4-ylalkyl, oxazol-4-ylalkoxy, 2-oxo-pyrrolidinylalkyl, 2-oxo-pyrrolidinylalkoxy, imidazolylalkyl,

imidazolylalkoxy, 2-methyl-imidazolylalkyl, 2-methyl-imidazolylalkoxy, N-methyl-piperazinoalkyl, N-methylpiperazinoalkoxy, N-methylpiperazinoalkoxyalkyl, dioxolanyl, dioxanyl, dithiolanyl, dithianyl, pyrrolidinyl, piperidinyl, piperazinyl, pyrrolyl, 4-methyl-piperazinyl, morpholinyl, thiomorpholinyl, 2-hydroxymethylpyrrolidinyl, 3-hydroxy-pyrrolidinyl, 3,4-dihydroxypyrrolidinyl, 3-acetamidomethylpyrrolidinyl, 3-C₁₋₆-alkoxy-C₁₋₆-alkyl-pyrrolidinyl, 4-hydroxypiperidinyl, 4-oxopiperidinyl, 3,5-dimethylmorpholinyl, 4,4-dioxothiomorpholinyl, 4-oxothiomorpholinyl, 2,6-dimethylmorpholinyl, 2-oxo-imidazolidinyl, 2-oxooxazolidinyl, 2-oxopyrrolidinyl, 2-oxo-[1,3]oxazinyl, 2-oxotetrahydropyrimidinyl and the -O-CH₂CH(OH)CH₂NR_x radical where NR_x is a mono- or di-C₁₋₆-alkylamino, piperidino, morpholino, piperazino or N-methylpiperazino radical; or

(B) R⁶ is a polycyclic, unsaturated hydrocarbon radical, phenyl substituted by C₁-C₆alkylenedioxy, furyl, thienyl, pyridyl, pyrimidyl, indolyl, quinolinyl, pyrazinyl, triazolyl, imidazolyl, benzothiazolyl, pyranyl, tetrahydropyranyl, azetidinyl, morpholinyl, tetrahydroquinolyl, tetrahydroisoquinolyl, quinazolinyl, quinoxalinyl, isoquinolyl, benzo[b]thienyl, isobenzofuranyl, benzoimidazolyl, 2-oxobenzoimidazolyl, oxazolyl, thiazolyl, pyrrolyl, pyrazolyl, triazinyl, dihydrobenzofuranyl, 2-oxodihydrobenzo [d][1,3]oxazinyl, 4-oxodihydroimidazolyl, 5-oxo-4H[1,2,4]triazinyl, 3-oxo-4H-benzo [1,4]thiazinyl, tetrahydroquinoxalinyl, 1,1,3-trioxodihydro-2H-1 λ^6 -benzo[1,4]thiazinyl, 1-oxopyridyl, dihydro-3H-benzo[1,4]oxazinyl, 3,4-dihydro-2H-benzo[1,4]oxazinyl, 2-oxotetrahydrobenzo[e][1,4]diazepinyl, 2-oxodihydrobenzo[e][1,4]diazepinyl, 1Hpyrrolizinyl, phthalazinyl, 1-oxo-3H-isobenzofuranyl, 4-oxo-3H-thieno[2,3-d] pyrimidinyl, 3-oxo-4H-benzo[1,4]oxazinyl, [1,5]naphthyridyl, dihydro-2H-benzo [1,4]thiazinyl, 1,1-dioxodihydro-2H-benzo[1,4]thiazinyl, 2-oxo-1H-pyrido[2,3-b] [1,4]oxazinyl, dihydro-1H-pyrido[2,3-b][1,4]oxazinyl, 1H-pyrrolo[2,3-b]pyridyl, benzo [1,3]dioxolyl, benzooxazolyl, 2-oxobenzooxazolyl, 2-oxo-1,3-dihydroindolyl, 2,3-dihydroindolyl, indazolyl, benzofuranyl, dioxolanyl, dioxanyl, dithiolanyl, dithianyl, pyrrolidinyl, piperidinyl, piperazinyl, 4-methylpiperazinyl, morpholinyl, thiomorpholinyl, 2-hydroxymethylpyrrolidinyl, 3-hydroxypyrrolidinyl, 3,4-dihydroxypyrrolidinyl, 4-hydroxypiperidinyl, 4-oxopiperidinyl, 3,5-dimethylmorpholinyl, 4,4-dioxothiomorpholinyl, 4-oxothiomorpholinyl, 2,6-dimethylmorpholinyl, tetrahydropyranyl, 2-oxoimidazolidinyl, 2-oxooxazolidinyl, 2-oxopiperidinyl, 2-oxopyrrolidinyl, 2-oxo[1,3]oxazinyl, 2-oxoazepanyl, or 2-oxotetrahydropyrimidinyl;

or a salt or prodrug thereof or in which one or more atoms have been replaced by their stable, non-radioactive isotopes, in particular a pharmaceutically usable salt thereof.

2. Compound according to Claim 1, characterized in that it corresponds to the formula (la)

$$R^6$$
 X
 R^5
 NR^3R^4
(la)

where the substituents are each as defined in Claim 1.

3. Compound according to Claim 1 or 2, in which

 R^2 is C_1 - C_8 -alkyl, C_3 - C_8 -cycloalkyl, C_1 - C_8 -alkylsulphonyl, C_3 - C_8 -cycloalkylsulphonyl, aryl- C_0 - C_8 -alkylsulphonyl, C_3 - C_{12} -cycloalkyl- C_1 - C_8 -alkanoyl, C_3 - C_{12} -cycloalkyl- C_3 - C_8 -cycloalkyl- C_3 - C_8 -cycloalkyl- C_1 - C_8 -alkanoyl, C_1 - C_8 -alkanoyl or aryl- C_0 - C_4 -alkyl, which radicals may be substituted by 1-4 C_1 - C_8 -alkyl, C_3 - C_8 -cycloalkyl, C_3 - C_8 -cycloalkoxy, C_0 - C_6 -alkylcarbonylamino, halogen, cyano, hydroxyl, oxide, trifluoromethyl, C_1 - C_8 -alkoxy or optionally N-mono- or N,N-di- C_1 - C_8 -alkylated carbamoyl.

- 4. Compound according to Claim 1 or 2, in which
- R1 a) is hydrogen; or
 - b) is C₁-C₈-alkyl or C₃-C₈-cycloalkyl;
- R^2 a) is C_1 - C_8 -alkyl, C_3 - C_8 -cycloalkyl, C_1 - C_8 -alkanoyl, heterocyclyl- C_1 - C_8 -alkanoyl, C_3 - C_{12} -cycloalkyl- C_1 - C_8 -alkanoyl or aryl- C_1 - C_8 -alkanoyl, which radicals may be substituted by 1-4 C_1 - C_8 -alkyl, C_{1-6} -alkylamino, cyano, halogen, hydroxyl, C_1 - C_6 -alkanoylamino, C_1 - C_8 -alkoxy, oxide, oxo, trifluoromethyl or aryl; or
- b) together with R^1 and the nitrogen atom to which they are bonded, is a saturated or partly unsaturated, 4-8-membered heterocyclic ring which may contain an additional nitrogen or oxygen atom, in which case the additional nitrogen atom may optionally be substituted by C_1 - C_8 -alkyl or C_1 - C_8 -alkanoyl, in which case this heterocyclic ring may be part of a bicyclic or tricyclic ring system having a total of up to 16 ring members and the second ring may also contain a nitrogen or oxygen atom, and the nitrogen atom of the second ring may optionally be substituted by C_1 - C_8 -alkyl or C_1 - C_8 -alkanoyl, and all ring systems mentioned may be

substituted by 1-4 C_1 - C_8 -alkyl, hydroxyl, oxide, oxo, C_1 - C_8 -alkoxy, C_1 - C_8 -alkoxy- C_1 - C_8 -alkoxy- C_1 - C_8 -alkoxy.

5. Compound according to Claim 1 or 2, in which

X is methylene;

R1 a) is hydrogen; or

b) is C₁-C₈-alkyl or C₃-C₈-cycloalkyl;

 R^2 a) is C_1 - C_8 -alkyl, C_3 - C_8 -cycloalkyl, C_1 - C_8 -alkanoyl, heterocyclyl- C_1 - C_8 -alkanoyl, C_3 - C_{12} -cycloalkyl- C_1 - C_8 -alkanoyl or aryl- C_1 - C_8 -alkanoyl, which radicals may be substituted by 1-4 C_1 - C_8 -alkyl, C_{1-6} -alkylamino, cyano, halogen, hydroxyl, C_1 - C_6 -alkanoylamino, C_1 - C_8 -alkoxy, oxide, oxo, trifluoromethyl or aryl; or

b) together with R¹ and the nitrogen atom to which they are bonded, is a saturated or partly unsaturated, 4-8-membered heterocyclic ring which may contain an additional nitrogen or oxygen atom, in which case the additional nitrogen atom may optionally be substituted by C₁-C₂-alkyl or C₁-C₂-alkanoyl, in which case this heterocyclic ring may be part of a bicyclic or tricyclic ring system having a total of up to 16 ring members and the second ring may also contain a nitrogen or oxygen atom, and the nitrogen atom of the second ring may optionally be substituted by C₁-C₂-alkyl or C₁-C₂-alkanoyl, and all ring systems mentioned may be substituted by 1-4 C₁-C₂-alkyl, hydroxyl, oxide, oxo, C₁-C₂-alkoxy, C₁-C₂-alkoxy-C₁-C₂-alkoxy-C₁-C₂-alkoxy;

R³ is hydrogen;

R⁴ is hydrogen;

R⁵ are each independently hydrogen or C₁-C₈-alkyl; and

R⁶ is as defined in Claim 1.

6. Compound according to one of Claims 1 to 5, in which the R⁶ radical is selected from the group consisting of furyl, thienyl, pyridyl, pyrimidyl, indolyl, quinolinyl, benzoimidazolyl, di-C₁₋₆-alkoxypyrimidinyl, 2- and 5-benzo[b]thienyl, 6- and 7-isoquinolyl, 6- and 7-tetrahydroquinolyl, 6- and 7-quinazolinyl, 6- and 7-quinazolinyl, dihydro-3H-benzo[1,4]oxazinyl, 3,4-dihydro-2H-benzo[1,4]oxazinyl, 3-oxo-4H-benzo[1,4]oxazinyl, 2-oxobenzooxazolyl, 2-oxo-1,3-dihydroindolyl, 2,3-dihydroindolyl, indazolyl or benzofuranyl; and 6- and 7-quinolyl, 6- and 7-isoquinolyl, 6- and 7-tetrahydroquinolyl, oxotetrahydroquinolyl, 6- and 7-tetrahydroisoquinolyl, 6-quinoxalinyl, 6- and 7-quinazolinyl, indolyl, dihydro-3H-

WO 2005/090304 PCT/EP2005/051241

benzo[1,4]oxazinyl, 3,4-dihydro-2H-benzo[1,4]oxazinyl, 3-oxo-3,4-dihydro-2Hbenzo[1,4]oxazinyl, 3-oxo-4H-benzo[1,4]oxazinyl, 2-oxobenzooxazolyl, 2-oxo-2,3dihydrobenzooxazolyl, 2-oxo-1,3-dihydroindolyl, 2,3-dihydroindolyl, indazolyl, benzofuranyl, 2,3-dihydrobenzothiazinyl, imidazolyl, benzoimidazolyl, pyridinyl, pyrrolo[2,3-b]pyridinyl, pyrrolo[3,2-c]pyridinyl, pyrrolo[2,3-c]pyridinyl, pyrrolo[3,2-b]pyridinyl, [1,2,3]triazolo[1,5a]pyridinyl, [1,2,4]triazolo[4,3-a]pyridinyl, imidazo[1,2-a]pyrimidinyl, imidazo[1,5-a]pyridinyl or naphthyl or cyclohexenophenyl, each of which is substituted by from one to four radicals selected from C_{1-6} -alkyl, cyano, oxo, oxide, trifluoromethyl, hydroxyl, halogen, carbamoyl, carboxy, C_{1-6} -alkoxy, hydroxy- C_{2-7} -alkoxy, C_{1-6} -alkoxy- C_{1-6} -alkoxy, di- C_{1-6} -alkylamino, 2,3dihydroxypropoxy, 2,3-dihydroxypropoxy- C_{1-6} -alkoxy, 2,3-dimethoxypropoxy, methoxybenzyloxy, hydroxybenzyloxy, phenethyloxy, methylenedioxybenzyloxy, dioxolanyl- C_{1-6} -alkoxy, cyclopropyl- C_{1-6} -alkoxy, pyridylcarbamoyloxy- C_{1-6} -alkoxy, 3-morpholino-2hydroxypropoxy, benzyloxy- C_{1-6} -alkoxy, picolyloxy, C_{1-6} -alkoxycarbonyl, C_{1-6} -alkoxy- C_{1-6} - C_{1-6} -alkoxy- C_{1-6} - $C_{$ alkoxy- C_{1-6} -alkyl, C_{1-6} -alkylcarbonylamino, C_{1-6} -alkylcarbonylamino- C_{1-6} -alkyl, C_{1-6} -alkylcarbonylamino- C_{1-6} -alkylcarbonylamino-Calkylcarbonylamino- C_{1-6} -alkoxy, (N- C_{1-6} -alkyl)- C_{1-6} -alkylcarbonylamino- C_{1-6} -alkyl, (N- C_{1-6} -alkyl)- C_{1-6} -alkylcarbonylamino- C_{1-6} -alk alkyl)- C_{1-6} -alkylcarbonylamino- C_{1-6} -alkoxy, C_{3-6} -cycloalkylcarbonylamino- C_{1-6} -alkyl, C_{3-6} -alkyl $cycloalkylcarbonylamino-C_{\text{1-6}}-\text{alkoxy},\ C_{\text{1-6}}-\text{alkoxy}-C_{\text{1-6}}-\text{alkyl},\ hydroxy-C_{\text{1-6}}-\text{alkyl},\ hydroxy-C_{\text{2-7}}-\text{alkyl}$ $alkoxy-C_{1\text{-}6}-alkyl,\ hydroxy-C_{2\text{-}7}-alkoxy-C_{1\text{-}6}-alkoxy,\ C_{1\text{-}6}-alkoxycarbonylamino-C_{1\text{-}6}-alkyl,\ C_{1\text{-}6}-alkyl,\ C_{$ alkoxycarbonylamino- C_{2-7} -alkoxy, C_{1-6} -alkylaminocarbonylamino- C_{1-6} -alkyl, C_{1-6} alkylaminocarbonylamino- C_{2-7} -alkoxy, C_{1-6} -alkylaminocarbonyl- C_{1-6} -alkyl, C_{1-6} alkylaminocarbonyl- C_{1-6} -alkoxy, C_{1-6} -alkylaminocarbonyl- C_{1-6} -alkoxy- C_{1-6} -alkyl, di- C_{1-6} -alkylaminocarbonyl- C_{1-6} -alkoxy- C_{1-6} -alkylaminocarbonyl- C_{1-6} -alkyl- C_{1-6} -alkylaminocarbonyl- C_{1-6} -alkylaminocarb alkylaminocarbonyl- C_{1-6} -alkyl, di- C_{1-6} -alkylaminocarbonyl- C_{1-6} -alkoxy, C_{1-6} -alkylcarbonyloxy- C_{1-6} -alkyl, C_{1-6} -alkylcarbonyloxy- C_{1-6} -alkoxy, cyano- C_{1-6} -alkyl, cyano- C_{1-6} -alkoxy, 2oxooxazolidinyl- C_{1-6} -alkyl, 2-oxooxazolidinyl- C_{1-6} -alkoxy, C_{1-6} -alkoxycarbonyl- C_{1-6} -alkyl, C_{1-6} -alkyl, C_{1-6} -alkoxy alkoxycarbonyl- C_{1-6} -alkoxy, C_{1-6} -alkylsulphonylamino- C_{1-6} -alkyl, C_{1-6} -alkylsulphonylamino- C_{2-6} -alkyl $_{7}$ -alkoxy, (N-C $_{1-6}$ -alkyl)-C $_{1-6}$ -alkylsulphonylamino-C $_{1-6}$ -alkyl, (N-C $_{1-6}$ -alkyl)-C $_{1-6}$ alkylsulphonylamino- C_{1-6} -alkoxy, C_{1-6} -alkylamino- C_{1-6} -alkylamino- C_{2-7} -alkoxy, di- C_{1-6} -alkylamino- C_{2-7} -al $_{6}$ -alkylamino- C_{1-6} -alkyl, Di- C_{1-6} -alkylamino- C_{2-7} -alkoxy, C_{1-6} -alkylsulphonyl- C_{1-6} -alkyl, C_{1-6} -alkylamino- C_{1-6} -alkyl alkylsulphonyl- C_{1-6} -alkoxy, carboxy- C_{1-6} -alkyl, carboxy- C_{1-6} -alkoxy, carboxy- C_{1-6} -alkoxy- C_{1-6} -alky- C_{1 alkyl, C_{1-6} -alkoxy- C_{1-6} -alkylcarbonyl, acyl- C_{1-6} -alkoxy- C_{1-6} -alkyl, (N- C_{1-6} -alkyl)- C_{1-6} -alkoxycarbonylamino, (N-hydroxy)- C_{1-6} -alkylaminocarbonyl- C_{1-6} -alkyl, (N-hydroxy)- C_{1-6} alkylaminocarbonyl- C_{1-6} -alkoxy, (N-hydroxy)aminocarbonyl- C_{1-6} -alkyl, (Nhydroxy)aminocarbonyl- C_{1-6} -alkoxy, C_{1-6} -alkoxyaminocarbonyl- C_{1-6} -alkyl, 6-alkoxyaminocarbonyl- C_{1-6} -alkoxy, (N- C_{1-6} -alkoxy)- C_{1-6} -alkylaminocarbonyl- C_{1-6} -alkyl, (N- C_{1-6} -alkylaminocarbonyl- C_{1-6} -alkyl alkoxy)- C_{1-6} -alkylaminocarbonyl- C_{1-6} -alkoxy, (N-acyl)- C_{1-6} -alkoxy- C_{1-6} -alkylamino, C_{1-6} -alkoxyWO 2005/090304 PCT/EP2005/051241

 C_{1-6} -alkylcarbamoyl, (N- C_{1-6} -alkyl)- C_{1-6} -alkoxy- C_{1-6} -alkylcarbamoyl, C_{1-6} -alkoxy- C_{1-6} -alkylcarbamoyl, Calkylcarbonyl, C₁₋₆-alkoxy-C₁₋₆-alkylcarbonylamino, (N-C₁₋₆-alkyl)-C₁₋₆-alkoxy-C₁₋₆alkylcarbonylamino, 1-C₁₋₆-alkoxy-C₁₋₆-alkylimidazol-2-yl, 1-C₁₋₆-alkoxy-C₁₋₆-alkyltetrazol-5-yl, $5-C_{1-6}-alkoxy-C_{1-6}-alkyltetrazol-1-yl,\ 2-C_{1-6}-alkoxy-C_{1-6}-alkyl-4-oxoimidazol-1-yl,\ carbamoyl-C_{1-6}-alkyl-4-oxoimidazol-1-yl,\ carbamoyl-C$ 6-alkyl, carbamoyl-C1-6-alkoxy, C1-6-alkylcarbamoyl, di-C1-6-alkylcarbamoyl, C1-6alkylsulphonyl, piperidinoalkyl, piperidinoalkoxy, piperidinoalkoxyalkyl, morpholinoalkyl, morpholinoalkoxy, morpholinoalkoxyalkyl, piperazinoalkyl, piperazinoalkoxy, piperazinoalkoxyalkyl, [1,2,4]-triazol-1-ylalkyl, [1,2,4]-triazol-1-ylalkoxy, [1,2,4]-triazol-4ylalkyl, [1,2,4]-triazol-4-ylalkoxy, [1,2,4]-oxadiazol-5-ylalkyl, [1,2,4]-oxadiazol-5-ylalkoxy, 3methyl-[1,2,4]-oxadiazol-5-ylalkyl, 3-methyl-[1,2,4]-oxadiazol-5-ylalkoxy, 5-methyl-[1,2,4]oxadiazol-3-ylalkyl, 5-methyl-[1,2,4]-oxadiazol-3-ylalkoxy, tetrazol-1-ylalkyl, tetrazol-1ylalkoxy, tetrazol-2-ylalkyl, tetrazol-2-ylalkoxy, tetrazol-5-ylalkyl, tetrazol-5-ylalkoxy, 5methyltetrazol-1-ylalkyl, 5-methyltetrazol-1-ylalkoxy, thiazol-4-ylalkyl, thiazol-4-ylalkoxy, oxazol-4-ylalkyl, oxazol-4-ylalkoxy, 2-oxopyrrolidinylalkyl, 2-oxopyrrolidinylalkoxy, imidazolylalkyl, imidazolylalkoxy, 2-methylimidazolylalkyl, 2-methylimidazolylalkoxy, Nmethylpiperazinoalkyl, N-methylpiperazinoalkoxy, N-methylpiperazinoalkoxyalkyl, pyrrolidinyl, piperidinyl, piperazinyl, pyrrolyl, 4-methylpiperazinyl, morpholinyl, thiomorpholinyl, 2hydroxymethylpyrrolidinyl, 3-hydroxypyrrolidinyl, 3,4-dihydroxypyrrolidinyl, 3acetamidomethylpyrrolidinyl, 3-C₁₋₆-alkoxy-C₁₋₆-alkyl-pyrrolidinyl, 4-hydroxypiperidinyl, 4oxopiperidinyl, 3,5-dimethylmorpholinyl, 4,4-dioxothiomorpholinyl, 4-oxothiomorpholinyl, 2,6dimethylmorpholinyl, 2-oxoimidazolidinyl, 2-oxooxazolidinyl, 2-oxopyrrolidinyl, 2-oxo-[1,3]oxazinyl and 2-oxotetrahydropyrimidinyl

- 7. Compound according to one of Claims 1 to 6 for use in a method for the therapeutic treatment of the human or animal body.
- 8. Pharmaceutical preparation comprising, as an active pharmaceutical ingredient, a compound according to one of Claims 1 to 6 in free form or as a pharmaceutically usable salt.
- 9. Use of a compound according to one of Claims 1 to 6 for preparing a medicament for the treatment or prevention of hypertension, heart failure, glaucoma, myocardial infarction, kidney failure or restenoses.

WO 2005/090304 PCT/EP2005/051241

- 82 -

10. Use according to Claim 9, characterized in that the preparation is effected additionally with one or more agents having cardiovascular action, for example α - and β -blockers such as phentolamine, phenoxybenzamine, prazosin, terazosin, tolazine, atenolol, metoprolol, nadolol, propranolol, timolol, carteolol etc.; vasodilators such as hydralazine, minoxidil, diazoxide, nitroprusside, flosequinan etc.; calcium antagonists such as amrinone, bencyclan, diltiazem, fendiline, flunarizine, nicardipine, nimodipine, perhexilene, verapamil, gallopamil, nifedipine etc.; ACE inhibitors such as cilazapril, captopril, enalapril, lisinopril etc.; potassium activators such as pinacidil; anti-serotoninergics such as ketanserin; thromboxane-synthetase inhibitors; neutral endopeptidase inhibitors (NEP inhibitors); angiotensin II antagonists; and also diuretics such as hydrochlorothiazide, chlorothiazide, acetazolamide, amiloride, bumetanide, benzthiazide, ethacrynic acid, furosemide, indacrinone, metolazone, spironolactone, triamteren, chlorthalidone etc.; sympatholytics such as methyldopa, clonidine, guanabenz, reserpine; and other agents which are suitable for the treatment of hypertension, heart failure or vascular diseases in humans and animals which are associated with diabetes or renal disorders such as acute or chronic renal failure.

11. Method for the treatment or prevention of hypertension, heart failure, glaucoma, myocardial infarction, kidney failure or restenses, characterized in that the human or animal body is treated with an effective amount of a compound according to one of Claims 1 to 6.